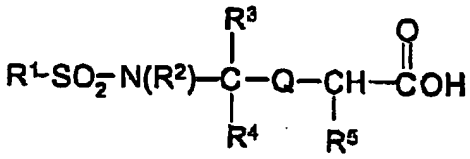
Amendments to the Claims:

Please amend claims 1, 2, and 12 as indicated, below.

The following listing of claims will replace all prior versions and listings of claims in the application.

Listing of Claims:

1. **(Currently amended)**: A compound of formula I:



I

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 from a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocyclic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,

carboxyl-substituted cycloalkyl,

carboxylaryl,

carboxyl-substituted aryl,

carboxylheteroaryl,

carboxyl-substituted heteroaryl,

carboxylheterocyclic,

carboxyl-substituted heterocyclic,

cycloalkyl,

substituted alkyl,

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$ substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-

substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected ~~of~~ from hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2NRR$ where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-

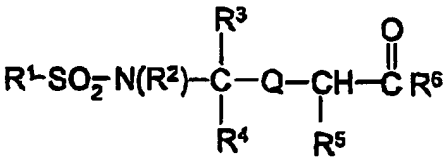
heterocyclic, -NRS(O)$_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO_2NRR where R is hydrogen or alkyl;

with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

Q is -C(X')NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X' is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof.

2. (**Currently amended**): A compound of formula IA below:



IA

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted ~~heterocyleie~~ heterocyclic

group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,

carboxyl-substituted cycloalkyl,

carboxylaryl,

carboxyl-substituted aryl,

carboxylheteroaryl,

carboxyl-substituted heteroaryl,

carboxylheterocyclic,

carboxyl-substituted heterocyclic,

cycloalkyl,

substituted alkyl

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, -

$NRS(O)_2$-substituted alkyl, -$NRS(O)_2$-aryl, -$NRS(O)_2$-substituted aryl, -$NRS(O)_2$-heteroaryl, -$NRS(O)_2$-substituted heteroaryl, -$NRS(O)_2$-heterocyclic, -$NRS(O)_2$-substituted heterocyclic, -$NRS(O)_2$-NR-alkyl, -$NRS(O)_2$-NR-substituted alkyl, -$NRS(O)_2$-NR-aryl, -$NRS(O)_2$-NR-substituted aryl, -$NRS(O)_2$-NR-heteroaryl, -$NRS(O)_2$-NR-substituted heteroaryl, -$NRS(O)_2$-NR-heterocyclic, -$NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and disubstituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO_2NRR where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected from hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thoalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, -$S(O)_2$-alkyl, -$S(O)_2$-substituted alkyl, -$S(O)_2$-cycloalkyl, -$S(O)_2$-substituted cycloalkyl, -$S(O)_2$-alkenyl, -$S(O)_2$-substituted alkenyl, -$S(O)_2$-aryl,

-$S(O)_2$-substituted aryl, -$S(O)_2$-heteroaryl, -$S(O)_2$-substituted heteroaryl, -$S(O)_2$-heterocyclic, -$S(O)_2$-substituted heterocyclic, -$OS(O)_2$-alkyl, -$OS(O)_2$-substituted alkyl, -$OS(O)_2$-aryl, -$OS(O)_2$-substituted aryl, -$OS(O)_2$-heteroaryl, -$OS(O)_2$-substituted heteroaryl, -$OS(O)_2$-heterocyclic, -$OS(O)_2$-substituted heterocyclic, -OSO_2-NRR where R is hydrogen or alkyl, -$NRS(O)_2$-alkyl, -$NRS(O)_2$-substituted alkyl, -$NRS(O)_2$-aryl, -$NRS(O)_2$-substituted aryl, -$NRS(O)_2$-heteroaryl, -$NRS(O)_2$-substituted heteroaryl, -$NRS(O)_2$-heterocyclic, -$NRS(O)_2$-substituted heterocyclic, -$NRS(O)_2$-NR-alkyl, -$NRS(O)_2$-NR-substituted alkyl, $NRS(O)_2$-NR-aryl, $NRS(O)_2$-NR-substituted aryl, $NRS(O)_2$-NR-heteroaryl, $NRS(O)_2$-NR-substituted heteroaryl, -$NRS(O)_2$-NR-heterocyclic, -$NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO_2NRR where R is hydrogen or alkyl;

with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

R^6 is selected from the group consisting of amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, -$NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, -$OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and -CH_2COOR^{11} where R^{11} is alkyl, and -$NHSO_2Z$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is -C(X')NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X' is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R^6 is not *t*-butoxy.

3. **(Original)**: The compound according to Claims 1 or 2 wherein R^1 is selected from the group consisting of aryl, substituted aryl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl.

4. **(Original)**: The compound according to Claims 1 or 2 wherein R^1 is selected from the group consisting of 4-methylphenyl, methyl, benzyl, *n*-butyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-($CH_3C(O)NH$-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, isopropyl, 3,5-di-(trifluoromethyl)phenyl, 4-*t*-butylphenyl, 4-t-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-thienyl-3-methyl-5-chloropyrazol-4-yl, phenethyl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[$CH_3SC(=NH)$]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-l,3,4-thiadiazol-2-yl, 4-[$H_2NC(S)$]phenyl, 4-aminophenyl, 4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, and 4-(3'-dimethylamino-*n*-propoxy)-phenyl.

5-6. **(Canceled)**

7. **(Previously presented)**: The compound according to Claims 1 or 2 wherein R^2 and R^3 together with the nitrogen atom bound to R^2 substituent and the carbon bound to the R^3 substituent from a substituted heterocyclic ring.

8-9. **(Canceled)**

10. **(Original)**: The compound according to Claim 1 or 2 wherein R^4 is selected from the group consisting of methyl, ethyl and phenyl.

11. **(Canceled)**

12. **(Currently amended)**: The compound according to Claims 1 or 2 wherein R^5 is selected from the group consisting of 4-methylbenzyl, 4-hydroxybenzyl, 4-methoxybenzyl, 4-*t*-butoxybenzyl, 4-benzyloxybenzyl, 4-[Φ-CH(CH_3)O-]-benzyl, 4-[Φ-CH(COOH)O-]-benzyl, 4-[BocNHCH$_2$C(O)NH-]benzyl, 4-chlorobenzyl, 4-[NH$_2$CH$_2$C(O)NH-]benzyl, 4-carboxybenzyl, 4-[CbzNHCH$_2$CH$_2$NH-]benzyl, 3-hydroxy-4-(Φ-OC(O)NH-)benzyl, 4-[HOOCCH$_2$CH$_2$C(O)NH-]benzyl, benzyl, 4-[Φ-C(O)NH-]benzyl, 3-carboxybenzyl, 4-iodobenzyl, 4-hydroxy-3,5-diiodobenzyl, 4-hydroxy-3-iodobenzyl, Φ-CH$_2$CH$_2$-, 4-nitrobenzyl, 2-carboxybenzyl, 4-[dibenzylamino]-benzyl, 4-[(1'-cyclopropylpiperidin-4'-yl)-C(O)NH-]benzyl, 4-[-NHC(O)CH$_2$NHBoc]benzyl, 4-carboxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-[-NHC(O)CH(CH_3)NHBoc]benzyl, 4-[-NHC(O)CH(CH$_2$Φ)NHBoc]-benzyl, isobutyl, methyl, 4-[CH$_3$C(O)NH-]benzyl, -CH$_2$-(3-indolyl), *n*-butyl, *t*-butyl-OC(O)CH2-, *t*-butyl-OC(O)CH$_2$CH$_2$-, H$_2$NC(O)CH$_2$-, H$_2$NC(O)CH$_2$CH$_2$-, BocNH-(CH$_2$)$_4$-, t-butyl-OC(O)-(CH$_2$)$_2$-, HOOCCH$_2$-, HOOC(CH$_2$)$_2$-, H$_2$N(CH$_2$)$_4$-, isopropyl, (l-naphthyl)-CH$_2$-, (2-naphthyl)-CH$_2$-, (2-thiophenyl)-CH$_2$-, Φ-CH$_2$-OC(O)NH-(CH$_2$)$_4$-, cyclohexyl-CH$_2$-, benzyloxy-CH$_2$-, HOCH$_2$-, 5-(3-N-benzyl)imidazolyl-CH$_2$-, 2-pyridyl-CH$_2$-, 3-pyridyl-CH$_2$-, 4-pyridyl-CH$_2$-, 5-(3-N-methyl) imidazolyl-CH$_2$-, N-benzylpiperid-4-yl-CH$_2$-, N-Boc-piperidin-4-yl-CH$_2$-, N-(phenyl-

carbonyl)piperidin-4-yl-CH_2-, $H_3CSCH_2CH_2$-, 1-N-benzylimidazol-4-yl-CH_2-, *iso*-propyl-C(O)NH-$(CH_2)_4$-, *iso*-butyl-C(O)NH-$(CH_2)_4$-, phenyl-C(O)NH-$(CH_2)_4$-, benzyl-C(O)NH-$(CH_2)_4$-, ~~allyl~~ alkyl-C(O)NH-$(CH_2)_4$-, 4-(3-N-methylimidazolyl)-CH_2, 4-[$(CH_3)_2NCH_2CH_2CH_2$-O-]benzyl, 4-[(benzyl)$_2$N-]-benzyl, 4-aminobenzyl, ~~allyloxy~~ alkyloxy-C(O)NH$(CH_2)_4$-, ~~allyloxy~~ alkyloxy-C(O)NH$(CH_2)_3$-, ~~allyloxy~~ alkyloxy-C(O)NH$(CH_2)_2$-, $NH_2C(O)CH_2$-, Φ-CH=, 2-pyridyl-C(O)NH-$(CH_2)_4$-, 4-methylpyrid-3-yl-C(O)NH-$(CH_2)_4$-, 3-methylthien-2-yl-C(O)NH-$(CH_2)_4$-, 2-pyrrolyl-C(O)NH-$(CH_2)_4$-, 2-furanyl-C(O)NH-$(CH_2)_4$-, 4-methylphenyl-SO_2-$N(CH_3)CH_2C(O)NH(CH_2)_4$, 4-[cyclopentylacetylenyl]-benzyl, 4-[-NHC(O)-(N-Boc)-pyrrolidin-2-yl)]-benzyl-, 1-N-methylimidazol-4-yl-CH_2-, 1-N-methylimidazol-5-yl-CH_2, imidazol-5-yl-CH_2, 6-methylpyrid-3-yl-C(0)NH-$(CH_2)_4$-, 4-[-NHC(O)NHCH$_2$CH$_2$CH$_2$-Φ]-benzyl, 4-[-NHC(O)NHCH$_2$CH$_2$-Φ]-benzyl, -$CH_2C(O)NH(CH_2)_4$Φ-, 4-[Φ $(CH_2)_4$O-]-benzyl, 4-[-C≡C-Φ-4'Φ]-benzyl, 4-[-C≡C-CH$_2$-O-S(O)$_2$-4'-CH_3-Φ]-benzyl, 4-[-C≡C-CH$_2$NHC(O)NH$_2$]-benzyl, 4-[-C≡C-CH$_2$-O-4'-COOCH$_2$CH$_3$-Φ]-benzyl, 4-[-C≡C-CH(NH_2)-cyclohexyl]-benzyl, -$(CH_2)_4$NHC(O)CH$_2$-3-indolyl, -$(CH_2)_4$NHC(O)CH$_2$CH$_2$-3-indolyl, -$(CH_2)_4$NHC(O)-3-(5-methoxyindolyl), -$(CH_2)_4$NHC(O)-3-(1-methylindolyl), -$(CH_2)_4$NHC(O)-4-(-SO_2 (CH_3)- Φ), -$(CH_2)_4$NHC(O)-4-(C(O)CH_3)-phenyl, -$(CH_2)_4$NHC(O)-4-fluorophenyl, -$(CH_2)_4$NHC(O)CH$_2$O-4-fluorophenyl, 4-[-C≡C-(2-pyridyl)]-benzyl, 4-[-C≡C-CH$_2$-O-phenyl]benzyl, 4-[-C≡C-CH$_2$OCH$_3$]-benzyl, 4-[-C≡C-(3-hydroxyphenyl)]-benzyl, 4-[-C≡C-CH$_2$-O-4'-(-C(O)OC$_2$H$_5$)phenyl]-benzyl, 4-[-C≡C-CH$_2$CH(C(O)OCH$_3$)2]-benzyl, 4-[-C≡C-CH$_2$NH-(4,5-dihydro-4-oxo-5-phenyl-oxazol-2-yl), 3-aminobenzyl, 4-[-C≡C-CH$_2$CH(NHC(O)CH$_3$)C(O)OH]-benzyl, -CH$_2$C(O)NHCH(CH_3) Φ, -CH$_2$C(O)NHCH$_2$-(4-dimethylamino)- Φ, -CH$_2$C(O)NHCH$_2$-4-nitrophenyl, -CH$_2$CH$_2$C(O)N(CH_3)CH$_2$-Φ, -CH$_2$CH$_2$C(O)NHCH$_2$CH$_2$-(N-methyl)-2-pyrrolyl, -CH$_2$CH$_2$C(O)NHCH$_2$CH$_2$CH$_2$CH$_3$, -CH$_2$CH$_2$C(O)NHCH$_2$CH$_2$-3-indolyl, -CH$_2$C(O)N(CH_3)CH$_2$phenyl, -CH$_2$C(O)NH(CH$_2$)2(N-methyl)-2-pyrrolyl, -CH$_2$C(O)NHCH$_2$CH$_2$CH$_2$CH$_3$, -CH$_2$C(O)NHCH$_2$CH$_2$-3-indolyl, -$(CH_2)_2$C(O)NHCH(CH_3) Φ, -$(CH_2)_2$C(O)NHCH$_2$-4-dimethylaminophenyl, -$(CH_2)_2$C(O)NHCH$_2$-4-nitrophenyl, -CH$_2$C(O)NH-4-[-NHC(O)CH_3-phenyl], -CH$_2$C(O)NH-4-pyridyl, -CH$_2$C(O)NH-4-[dimethylaminophenyl], -

$CH_2C(O)NH$-3-methoxyphenyl, $-CH_2CH_2C(O)NH$-4-chlorophenyl, $-CH_2CH_2C(O)NH$-2-pyridyl, $-CH_2CH_2C(O)NH$-4-methoxyphenyl, $-CH_2CH_2C(O)NH$-3-pyridyl, 4-[$(CH_3)_2NCH_2CH_2O$-]-benzyl, $-(CH_2)_3NHC(NH)NH-SO_2$-4-methylphenyl, 4-[$(CH_3)_2NCH_2CH_2O$-]-benzyl, $-(CH_2)_4NHC(O)NHCH_2CH_3$, $-(CH_2)_4NHC(O)NH$-phenyl, $-(CH_2)_4NHC(O)NH$-4-methoxyphenyl, 4-[4'-pyridyl-C(O)NH-]-benzyl, 4-[3'-pyridyl-C(O)NH-] -benzyl, 4-[-NHC(O)NH-3'-methylphenyl]-benzyl, 4-[$-NHC(O)CH_2NHC(O)NH$-3'-methylphenyl]-benzyl, 4-[-NHC(O)-(2',3'-dihydroindol-2-yl)]-benzyl, 4-[-NHC(O)-(2',3'-dihydro-N-Boc-indol-2-yl)]-benzyl, p-[$-OCH_2CH_2$-1'-(4'-pyrimidinyl)-piperazinyl]-benzyl, 4-[$-OCH_2CH_2$-(1'-piperidinyl)]-benzyl, 4-[$-OCH_2CH_2$-(1'-pyrrolidinyl)]-benzyl, 4-[$-OCH_2CH_2CH_2$-(1'-piperidinyl)]-benzyl-, $-CH_2$-3-(1,2,4-triazolyl), 4-[$-OCH_2CH_2CH_2$-4-(3'-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[$-OCH_2CH_2N(\Phi)CH_2CH_3$]benzyl, 4-[$-OCH_2$-3'-(N-Boc)-piperidinyl]-benzy, 4-[di-*n*-pentylamino]-benzyl, 4-[*n*-pentylamino]-benzyl, 4-[di-*iso*-propylamino-CH_2CH_2O-]-benzyl, 4-[$-OCH_2CH_2$-(N-morpholinyl)]-benzyl, 4-[-O-(3'-(N-Boc)-piperidinyl]-benzyl, 4-[$-OCH_2CH$(NHBoc)CH_2cyclohexyl]-benzyl, *p*-[OCH_2CH_2-(N-piperidinyl]-benzyl, 4-[$-OCH_2CH_2CH_2$-(4-*m*-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[$-OCH_2CH_2$-(N-homopiperidinyl)-benzyl, 4-[-NHC(O)-3'-(N-Boc)-piperidinyl]-benzyl, 4-[$-OCH_2CH_2N(benzyl)_2$]-benzyl, CH_2-2-thiazolyl, 3-hydroxybenzyl, 4-[$-OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[$-NHC(S)NHCH_2CH_2$-(N-morpholino)]-benzyl, 4-[$-OCH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[$-OCH_2CH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[$CH_3(CH_2)_4NH$-]-benzyl, 4-[N-*n*-butyl,N-*n*-pentylamino-]benzyl, 4-[NHC(O)-4'-piperidinyl]benzyl, 4-[$-NHC(O)CH(NHBoc)(CH_2)_4NHCbz$]-benzyl, 4-[-NHC(O)-1',2',3',4'-tetrahydro-N-Boc-isoquinolin-1'-yl]-benzyl, p-[$-OCH_2CH_2CH_2$-1'-(4'-methyl)-piperazinyl]-benzyl, $-(CH_2)_4NH$-Boc, 3-[$-OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[$-OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 3-[$-OCH_2CH_2$-(1'-pyrrolidinyl)]-benzyl, 4-[$-OCH_2CH_2CH_2N(CH_3)$benzyl]-benzyl, 4-[$-NHC(S)NHCH_2CH_2CH_2$-(N-morpholino)]-benzyl, 4-[$-OCH_2CH_2$-(N-morpholino)]-benzyl, 4-[$NHCH_2$-(4'-chlorophenyl)]-benzyl, 4-[NHC(O)NH-(4'-cyanophenyl)]-benzyl, 4-[$-OCH_2COOH$]-benzyl, 4-[$-OCH_2COO$-*t*-butyl]-benzyl, 4-[-NHC(O)NH-4'-fluoroindol-2-yl]-benzyl, 4-[$-NHC(S)NH(CH)_2$-1-piperidinyl]-benzyl, 4-[-

$N(SO_2CH_3)(CH_2)_3$-$N(CH_3)_2$]-benzyl, 4-[-$NHC(O)CH_2CH(C(O)OCH_2\Phi)$-NHCbz]-benzyl, 4-[-$NHS(O)_2$-$CF_3$]-benzyl, 3-[-O-(N-methylpiperidin-4'-yl]-benzyl, 4-[-$C(=NH)NH_2$]-benzyl, 4-[-$NHSO_2$-CH_2Cl]-benzyl, 4-[-NHC(O)-(1',2',3',4'-tetrahydroisoquinolin-2'-yl]-benzyl, 4-[-$NHC(S)NH(CH_2)_3$-N-morpholino]-benzyl, 4-[-$NHC(O)CH(CH_2CH_2CH_2CH_2NH_2)NHBoc$]-benzyl, 4-[-$C(O)NH_2$]-benzyl, 4-[-NHC(O)NH-3'-methoxyphenyl]-benzyl, 4-[-OCH_2CH_2-indol-3'-yl]-benzyl, 4-[-$OCH_2C(O)NH$-benzyl]-benzyl, 4-[-$OCH_2C(O)O$-benzyl]-benzyl, 4-[-$OCH_2C(O)OH$]-benzyl, 4-[-OCH_2-2'-(4',5'-dihydro)imidazolyl]-benzyl, -$CH_2C(O)NHCH_2$-(4-dimethylamino)phenyl, -$CH_2C(O)NHCH_2$-(4-dimethylamino)phenyl, 4-[-NHC(O)-L-2'-pyrrolidinyl-N-SO_2-4'-methylphenyl]-benzyl, 4-[-$NHC(O)NHCH_2CH_2CH_3$]-benzyl, [4-aminobenzyl]-benzyl, 4-[-OCH_2CH_2-1-(4-hydroxy-4-(3-methoxypyrrol-2-y1)-piperazinyl]-benzyl, 4-[-O-(N-methylpiperidin-4'-yl)]-benzyl, 3-methoxybenzyl, 4-[-NHC(O)-piperidin-3'-yl]-benzyl, 4-[-NHC(O)-pyridin-2'-yl]-benzyl, 4-[-$NHCH_2$-(4'-chlorophenyl)l-benzyl, 4-[-NHC(O)-(N-(~~4'-CH3-Φ-SO_2~~ 4'-CH_3-Φ-SO_2)-L-prrolidin-2'-yl)]-benzyl, 4-[-$NHC(O)NHCH_2CH_2$-Φ]-benzyl, 4-[-$OCH_2C(O)NH_2$]-benzyl, 4-[-$OCH_2C(O)NH$-*t*-butyl]-benzyl, 4-[-OCH_2CH_2-1-(4-hydroxy-4-phenyl)-piperidinyl]-benzyl, 4-[-$NHSO_2$-$CH=CH_2$]-benzyl, 4-[-$NHSO_2$-CH_2CH_2Cl]-benzyl, -$CH_2C(O)NHCH_2CH_2N(CH_3)_2$, 4-[(1'-Cbz-piperidin-4'-yl)C(O)NH-]benzyl, 4-[(1'-Boc-piperidin-4'-yl)C(O)NH-]benzyl, 4-[(2'-bromophenyl)C(O)NH-]benzyl, 4-[-NHC(O)-pyridin-4'-yl] -benzyl, 4-[(4'-$(CH_3)_2NC(O)O$-)phenyl)-C(O)NH-]benzyl, 4-[-NHC(O)-1'-methylpiperidin-4'-yl-]benzyl, 4-(dimethylamino)benzyl, 4-[-NHC(O)-(1'-N-Boc)-piperidin-2'-yl]-benzyl, 3-[-NHC(O)-pyridin-4'-yl]-benzyl, 4-[(*tert*-butyl-O(O)CCH_2-O-benzyl)-NH-]benzyl, [$BocNHCH_2C(O)NH$-]butyl, 4-benzyl-benzyl, 2-hydroxyethyl, 4-[$(Et)_2NCH_2CH_2CH_2NHC(S)NH$-]benzyl, 4-[(1'-Boc-4'-hydroxypyrrolidin-2'-yl)C(O)NH-]benzyl, 4-[$\Phi CH_2CH_2CH_2NHC(S)NH$-]benzyl, 4-[(perhydroindolin-2'-yl)C(O)NH-]benzyl, 2-[4-hydroxy-4-(3-methoxythien-2-y1)piperidin-1-yl]ethyl, 4-[(1'-Boc-perhydroindolin-2'-y1)-C(O)NH-]benzyl 4-[*N*-3-methylbutyl-*N*-trifluoromethanesulfonyl)amino]-benzyl, 4-[*N*-vinylsulfonyl)amino]benzyl-, 4-[2-(2-azabicyclo[3.2.2]octan-2-y1)ethyl-O-]benzyl, 4-[4'-hydroxypyrrolidin-2'-yl)C(O)NH-]benzyl, 4-(ΦNHC(S)NH)benzyl, 4-(EtNHC(S)NH)benzyl,4-

($\Phi CH_2NHC(S)NH$)benzyl 3-[(1'-Boc-piperidin-2'-yl)C(O)NH-]benzyl, 3-[piperidin-2'-yl-C(O)NH-]benzyl, 4-[(3'-Boc-thiazolidin-4'-yl)C(O)NH-]benzyl, 4-(pyridin-3'-yl-NHC(S)NH)benzyl, 4-(CH_3-NHC(S)NH)benzyl-, 4-($H_2NCH_2CH_2CH_2C(O)NH$)benzyl, 4-(BocHN$CH_2CH_2CH_2C(O)NH$)benzyl, 4-(pyridin-4'-yl-CH_2NH)benzyl, 4-[(*N*,*N*-di(4-*N*,*N*-dimethylamino)benzyl)amino]benzyl, 4-[(1-Cbz-piperidin-4-yl)C(O)NH-]butyl, 4-[ΦCH_2OCH_2 (BocHN)CHC(O)NH]benzyl, 4-[(piperidin-4'-yl)C(O)NH-]benzyl, 4-[(pyrrolidin-2'-yl)C(O)NH-]benzyl, 4-(pyridin-3'-yl-C(O)NH)butyl, 4-(pyridin-4'-yl-C(O)NH)butyl, 4-(pyridin-3'-yl-C(O)NH)benzyl, 4-[$CH_3NHCH_2CH_2CH_2C(O)NH$-]benzyl, 4-[CH_3N(Boc)$CH_2CH_2CH_2C(O)NH$-]benzyl, 4-(aminomethyl)benzyl, 4-[ΦCH_2OCH_2 (H_2N)CHC(O)NH]benzyl, 4-[(1',4'-di(Boc)piperazin-2'-yl)-C(O)NH-]benzyl, 4-[(piperazin-2'-yl)-C(O)NH-]benzyl, 4-[(*N*-toluenesulfonylpyrrolidin-2'-yl)C(O)NH-butyl-]benzyl, 4-[-NHC(O)-4'-piperidinyl]butyl, 4-[-NHC(O)-1'-N-Boc-piperidin-2'-yl]-benzyl, 4-[-NHC(O)-piperidin-2'-yl]-benzyl, 4-[(1'-N-Boc-2',3'-dihydroindolin-2'-yl)-C(O)NH]-benzyl, 4-(pyridin-3'-yl-CH_2NH)benzyl, 4-[(1'-Cbz-piperidin-4'-yl)C(O)NH-]benzyl, 4-[(piperidin-1'-yl)C(O)CH_2-O-]benzyl, 4-[$(CH_3CH)_2NC(O)CH_2$-O-]benzyl, 4-[HO(O)C(Cbz-NH)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-[ΦCH_2O(O)C(Cbz-NH)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-[-NHC(O)-2'-methoxyphenyl]-benzyl, 4-[(pyrazin-2'-yl)C(O)NH-]benzyl, 4-[HO(O)C(NH_2)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-(2'-formyl-1',2',3',4'-tetrahydroisoquinolin-3'-yl-CH_2NH-)benzyl, *N*-Cbz-$NHCH_2$-, 4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl, 4-[CH_3(N-Boc)$NCH_2C(O)NH$-]benzyl, 4-[-NHC(O)-(1',2',3',4'-tetrahydro-N-Boc-isoquinolin-3'-yl]-benzyl, 4-[$CH_3NHCH_2C(O)NH$-]benzyl, $(CH_3)_2NC(O)CH_2$-, 4-(*N*-methylacetamido)benzyl, 4-(1',2',3',4'-tetrahydroisoquinolin-3'-yl-CH_2NH-)benzyl, 4-[$(CH_3)_2NHCH_2C(O)NH$-]benzyl, (1-toluenesulfonylimidizol-4-yl)methyl, 4-[(1'-Boc-piperidin-4'-yl)C(O)NH-]benzyl, 4-trifluoromethylbenzyl, 4-[(2'-bromophenyl)C(O)NH-]benzyl, 4-[$(CH_3)_2NC(O)NH$-]benzyl, 4- [$CH_3OC(O)NH$-]benzyl, 4-[$(CH_3)_2NC(O)O$-]benzyl, 4-[$(CH_3)_2NC(O)N(CH_3)$-]benzyl, 4-[$CH_3OC(O)N(CH_3)$-]benzyl, 4-(N-methyltrifluoroacetamido)benzyl, 4-[(1'-methoxycarbonylpiperidin-4'-yl)C(O)NH-]benzyl, 4-[(4'-phenylpiperidin-4'-yl)C(O)NH-]benzyl, 4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)NH-

]benzyl, 4-[(piperidin-4'-yl)C(O)O-]benzyl, 4-[(1'-methylpiperadin-4'-yl)-O-]benzyl, 4-[(1'-methylpiperidin-4'-yl)C(O)O-]benzyl, 4-[(4'-methylpiperazin-1'-yl)C(O)NH-]benzyl, 3-[$(CH_3)_2NC(O)O$-]benzyl, 4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)O-]benzyl, 4-(N-toluenesulfonylamino)benzyl, 4-[$(CH_3CC(O)NH$-]benzyl, 4-[(morpholin-4'-yl)C(O)NH-]benzyl, 4-[$(CH_3CH_2)_2NC(O)NH$]benzyl, 4-[-C(O)NH-(4'-piperidinyl)]benzyl, 4-[(2'-trifluoromethylphenyl)C(O)NH-]benzyl, 4-[(2'-methylphenyl)C(O)NH-]benzyl, 4-[$(CH_3)_2NS(O)_2$-O-]benzyl, 4-[(pyrrolidin-2'-yl)C(O)NH-]benzyl, 4-[-NHC(O)-piperidin-1'-yl]benzyl, 4-[(thiomorpholin-4'-yl)C(O)NH-]benzyl, 4-[(thiomorpholin-4'-yl sulfone)-C(O)NH-]benzyl, 4-[(morpholin-4'-yl)C(O)O-]benzyl, 3-nitro-4-($CH_3OC(O)CH_2O$-)benzyl, (2-benzoxazolinon-6-yl)methyl-, (*2H*-1,4-benzoxazin-3(*4H*)-one-7-yl)methyl-, 4-[$(CH_3)_2NS(O)_2NH$-]benzyl, 4-[$(CH_3)_2NS(O)_2N(CH_3)$-]benzyl, 4-[(thiomorpholin-4'-yl)C(O)O]benzyl, 4-[(thiomorpholin-4'-yl sulfone)-C(O)O-]benzyl, 4-[(piperidin-1'-yl)C(O)O-]benzyl, 4-[(pyrrolidin-1'-yl)C(O)O-]benzyl, 4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl, 4-[(2'-methylpyrrolidin-1'-yl)-, (pyridine-4-yl)methyl-, 4-[(piperazin-4'-yl)-C(O)O-]benzyl, 4-[(1'-Boc-piperazin-4'-yl)-C(O)O-]benzyl, 4-[(4'-acetylpiperazin-1'-yl)C(O)O-]benzyl, p-[(4'-methanesulfonylpiperazin-1'-yl)-benzyl, 3-nitro-4-[(morpholin-4'-yl)-C(O)O-]benzyl, 4-{$[(CH_3)_2NC(S)]_2N$-}benzyl, *N*-Boc-2-aminoethyl-, 4-[(1,1-dioxothiomorpholin-4-yl)-C(O)O-]benzyl, 4-[$(CH_3)_2NS(O)_2$-]benzyl, 4-[(piperidin-1'-yl)C(O)O-]benzyl, 1-N-benzyl-imidazol-4-yl-CH_2-, 3,4-dioxyethylenebenzyl, 3,4-dioxymethylenebenzyl, 4-[-$N(SO_2)(CH_3)CH_2CH_2CH_2N(CH_3)_2$]benzyl, 4-[$NHC(O)CH(CH_2CH_2CH_2CH_2NH_2)NHBoc$]-benzyl, [2'-[4"-hydroxy-4"-(3"'-methoxythien-2"'-yl)piperidin-2"-yl]ethoxy]benzyl, and *p*-$[(CH_3)_2NCH_2CH_2N(CH_3)C(O)O$-]benzyl.

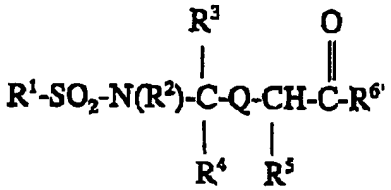
13. (**Original**): The compound according to Claim 2 wherein R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl(3,4-enol), methoxy, ethoxy, isopropoxy, *n*-butoxy, t-butoxy, cyclopentoxy, neo-pentoxy, 2-a-iso-propyl-4-P-methylcyclohexoxy, 2-β-isopropyl-4-β-methylcyclohexoxy, - NH_2, benzyloxy, -$NHCH_2COOH$, -$NHCH_2CH_2COOH$, -NH-adamantyl, -

$NHCH_2CH_2COOCH_2CH_3$, $-NHSO_2$-*p*-$CH_3\Phi$), $-NHOR^8$ where R^8 is hydrogen, methyl, iso-propyl or benzyl, O-(N-succinimidyl), -O-cholest-5-en-3-β-yl, $-OCH\text{-}OC(O)C(CH_3)_3$, $-O(CH_2)_z$,NHC(O)W where z is 1 or 2 and W is selected from the group consisting of pyrid-3-yl, N-methylpyridyl, and N-methyl-1,4-dihydro-pyrid-3-yl, -NR"C(O)-R' where R' is aryl, heteroaryl or heterocyclic and R" is hydrogen or $-CH_2C(O)OCH_2CH_3$.

14. **(Canceled)**

15. **(Original)**: A method for binding VLA-4 in a biological sample which method coinpsises contacting the biological sample with a compound of Claims 1 or 2 under conditions wherein said compound binds to VLA-4.

16. **(Previously presented)**: A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of the formula:



where

R' is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocyclic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and =CH-X where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,

carboxyl-substituted cycloalkyl,

carboxylaryl,

carboxyl-substituted aryl,

carboxylheteroaryl,

carboxyl-substituted heteroaryl,

carboxylheterocyclic,

carboxyl-substituted heterocyclic,

cycloalkyl,

substituted alkyl

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl , $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, -

$NRS(O)_2$-NR-alkyl, -$NRS(O)_2$-NR-substituted alkyl, -$NRS(O)_2$-NR-aryl, -$NRS(O)_2$-NR-substituted aryl, -$NRS(O)_2$-NR-heteroaryl, -$NRS(O)_2$-NR-substituted heteroaryl, -$NRS(O)_2$-NR-heterocyclic, -$NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO_2NRR where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, -$S(O)_2$-alkyl, -$S(O)_2$-substituted alkyl, -$S(O)_2$-cycloalkyl, -$S(O)_2$-substituted cycloalkyl, -$S(O)_2$-alkenyl, -$S(O)_2$-substituted alkenyl, -$S(O)_2$-aryl, -$S(O)_2$-substiuted aryl, -$S(O)_2$-heteroaryl, -$S(O)_2$-substituted heteroaryl, -$S(O)_2$-heterocyclic, -$S(O)_2$-substituted heterocyclic, -$OS(O)_2$-alkyl, -$OS(O)_2$-substituted alkyl, -$OS(O)_2$-aryl, -$OS(O)_2$-

substituted aryl, -OS(O)$_2$heteroaryl, -OS(O)$_2$-substituted heteroaryl, -OS(O)$_2$-heterocyclic, -OS(O)$_2$-substituted heterocyclic, -OSO$_2$NRR where R is hydrogen or alkyl, -NRS(O)$_2$-alkyl, -NRS(O)$_2$-substituted alkyl, -NRS(O)$_2$-aryl, -NRS(O)$_2$-substituted aryl, -NRS(O)$_2$-heteroaryl, -NRS(O)$_2$-substituted heteroaryl, -NRS(O)$_2$-heterocyclic, -NRS(O)$_2$-substituted heterocyclic, -NRS(O)$_2$-NR-alkyl, -NRS(O)$_2$-NR-substituted alkyl, -NRS(O)$_2$-NR-aryl, -NRS(O)$_2$-NR-substituted aryl, -NRS(O)$_2$-NR-heteroaryl, -NRS(O)$_2$-NR-substituted heteroaryl, -NRS(O)$_2$-NR-heterocyclic, -NRS(O)$_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with -SO$_2$NRR where R is hydrogen or alkyl;

with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

$R^{6'}$ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), hydroxyl, amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy,-O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, -NH(CH$_2$)$_p$COOY where *p* is an integer of from 1 to 8 and Y is as defined above, -OCH$_2$NR^{9}R^{10} where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and -CH$_2$COOR^{11} where R^{11} is alkyl, and –NHSO$_2$Z where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is -C(X')NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and

X is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R$^{6'}$ is not *t*-butoxy.

17. **(Original)**: A method for the treatment of an inflammatory disease in a patient mediated by VLA-4 which methods comprise administering to the patient the pharmaceutical composition of Claim 16.

18. **(Previously presented)**: The method according to Claim 17 wherein said inflammatory disease is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, cerebral traumas, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury which occurs in adult respiratory distress syndrome.

19. **(Previously presented)**: The method according to Claim 18 wherein said diabetes is acute juvenile onset diabetes.

20. **(Previously presented)**: The method according to Claim 18 wherein said inflammatory bowel disease is ulcerative colitis or Crohn's disease.

21. **(Previously presented)**: The method according to Claim 18 wherein said cerebral trauma is stroke.

22. **(Previously presented)**: A compound selected from the group consisting of:

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-phenylalanine;

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-4-(isonicotinamido)phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-4-(isonicotinamido)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine ethyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenyl-alanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenyl-alanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenyl-alanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-tyrosine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-D-tyrosine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine 1-(trimethyacetoxy)methyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-[N-(2-(N',N'-dimethylamino)ethyl)-N-methylcarbamyloxy]phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-[N-(2-(N',N'-dimethylamino)ethyl)-N-methylcarbamyloxy]phenylalanine;

N-(4-fluorobenzenesulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester;

N-(4-fluorobenzenesulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenyl-alanine

or pharmaceutically acceptable salts thereof or any of the ester compounds recited above wherein one ester group is replaced with another ester group selected from the group consisting of methyl ester, ethyl ester, *n*-propyl ester, isopropyl ester, *n*-butyl ester, isobutyl ester, *sec*-butyl ester and *tert*-butyl ester.